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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
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exact/norm bonds :
1-2  2-4  2-5  5-6  6-7  7-8  8-9  9-10  10-11  11-12


G1:O,S

Match level :
1:Atom  2:CLASS  4:CLASS  5:CLASS  6:CLASS  7:Atom  8:CLASS  9:CLASS  10:CLASS
11:CLASS  12:CLASS
Generic attributes :
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7:
Saturation             : Unsaturated

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L3          100 SEA SSS FUL L1

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                 (PD<19990900)
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=> dis l4 1-3 bib abs fhitstr

L4   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2004:1045227  CAPLUS  Full-text
DN   142:155891
TI   Design, Synthesis, and Biological Activity of Novel, Potent, and Selective
```

(Benzoylaminomethyl)thiophene Sulfonamide Inhibitors of c-Jun-N-Terminal Kinase

AU Rueckle, Thomas; Biamonte, Marco; Grippi-Vallotton, Tania; Arkinstall, Steve; Cambet, Yves; Camps, Montserrat; Chabert, Christian; Church, Dennis J.; Halazy, Serge; Jiang, Xuliang; Martinou, Isabelle; Nichols, Anthony; Sauer, Wolfgang; Gotteland, Jean-Pierre

CS Serono Pharmaceutical Research Institute, Geneva, 1228, Switz.

SO Journal of Medicinal Chemistry (2004), 47(27), 6921-6934
CODEN: JMCMAR; ISSN: 0022-2623

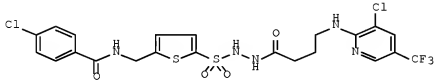
PB American Chemical Society

DT Journal

LA English

OS CASREACT 142:155891

GI



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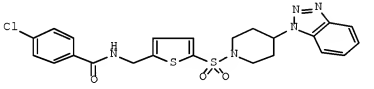


II

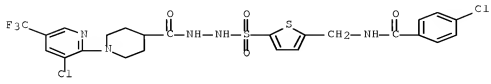
AB Several lines of evidence support the hypothesis that c-Jun N-terminal kinases (JNKs) play a critical role in a wide range of disease states including cell death (apoptosis)-related and inflammatory disorders (epilepsy, brain, heart and renal ischemia, neurodegenerative diseases, multiple sclerosis, rheumatoid arthritis, and inflammatory bowel syndrome). The screening of a compound collection led to the identification of a 2-(benzoylaminomethyl)thiophene sulfonamide (AS004509, I) as a potent and selective JNK inhibitor. Chemical and structure-activity relationship (SAR) studies performed around this novel kinase-inhibiting motif indicated that the left and central parts of the mol. were instrumental to maintaining potency at the enzyme. Accordingly, we investigated the JNK-inhibiting properties of a number of variants of the right-hand moiety of the mol., which led to the identification of 2-(benzoylaminomethyl)thiophene sulfonamide benzotriazole (AS600292, II), the first potent and selective JNK inhibitor of this class which demonstrates a protective action against neuronal cell death induced by growth factor and serum deprivation.

IT 850331-12-3P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)

(preparation, selective c-Jun-N-terminal kinase inhibiting activity and structure-activity relationship of (benzoylaminomethyl)thiophene sulfonamides)

RN 830331-12-9 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:125925 CAPLUS Full-text
DN 136:151160
TI Preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as c-Jun N-terminal kinase inhibitors
IN Arkinstall, Stephen; Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean-Pierre; Biamonte, Marco
PA Applied Research Systems ARS Holding N.V., Neth. Antilles
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001023382	A1	20010405	WO 2000-IB1381	20000928
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EP 1088822	A1	20010404	EP 1999-810870	19990928
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EP 1216245	A1	20020626	EP 2000-962745	20000928
EP 1216245	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510323	T	20030318	JP 2001-526534	20000928
AT 267826	T	20040615	AT 2000-962745	20000928
AU 777293	B2	20041007	AU 2000-74386	20000928
PRAI EP 1999-810870	A	19990928		
WO 2000-IB1381	W	20000928		

OS MARPAT 136:151160
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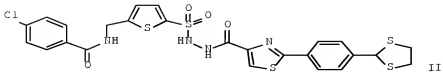


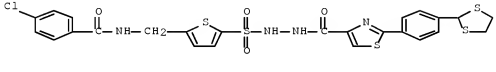
II

AB RC(:X1)NR1(CH2)nZSO2NR2NR3C(:X2)R4 [I; R = (un)substituted (hetero)aryl; R1, R2, and R3 = H or alkyl; or RR1 and/or R2R3 = atoms to complete a ring; R4 = (un)substituted alkyl or heterocyclyl; X1 and X2 = O or S; Z = (un)substituted (hetero)arylene; n = 0-5] were prepared as c-Jun N-terminal kinase (JNK) inhibitors, especially JNK2 or JNK3 inhibitors. Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl (98%) and the chlorosulfonated product (63%) amidated by 2-[4-(1,3-dithiolan-2- yl)phenyl]thiazole-4-carbohydrazide to give title compound II (80%). The latter exhibited selective inhibitory effect for JNK2 and JNK3 compared with p38 kinase and ERK2 protein kinase with IC50 values of 0.21 μM, 0.37 μM, >30 μM, and >30 μM, resp. Thus, I are useful for the treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease.

IT 332360-50-6P, 4-Chloro-N-[[5-[[2-[[2-[4-(1,3-dithiolan-2-yl)phenyl]-1,3-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(JNK inhibitor; preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as JNK2 and JNK3 inhibitors for treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease)

RN 332360-50-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:246568 CAPLUS Full-text

DN 134:280838

TI Preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as c-Jun N-terminal kinase inhibitors

IN Arkinstall, Stephen; Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean-Pierre; Biamonte, Marco

PA Applied Research Systems ARS Holding N.V., Neth. Antilles

SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2001023382	A1	20010405	WO 2000-IB1381	20000928
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1216245	A1	20020626	EP 2000-962745	20000928
	EP 1216245	B1	20040526		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003510323	T	20030318	JP 2001-526534	20000928
	AT 267826	T	20040615	AT 2000-962745	20000928
	PT 1216245	T	20040831	PT 2000-962745	20000928
	AU 777293	B2	20041007	AU 2000-74386	20000928
	ES 2216959	T3	20041101	ES 2000-962745	20000928
PRAI	EP 1999-810870	A	19990928		
	WO 2000-IB1381	W	20000928		

OS MARPAT 134:280838

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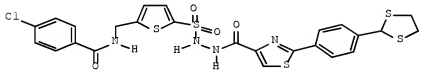


II

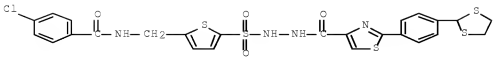
AB RC(:X1)NR1(CH2)nZSO2NR2NR3C(:X2)R4 [I; R = (un)substituted (hetero)aryl; R1,R2,R3 = H or alkyl; RR1,R2R3 = atoms to complete a ring; R4 = (un)substituted alkyl or -heterocyclyl; X1,X2 = O or S; Z = (un)substituted (hetero)aryene; n = 0-5] were prepared Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl and the chlorosulfonated product amidated by 2-[4-(1,3-dithiolan-2- yl)phenyl]thiazole-4-carbohydrazide to give title compound II. Data for biol. activity of I were given.

IT 332360-50-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as c-Jun N-terminal kinase inhibitors)

RN 332360-50-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-, 2-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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